FILE 'HOME' ENTERED AT 14:35:15 ON 16 APR 2008

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 15 APR 2008 HIGHEST RN 1015083-77-8 DICTIONARY FILE UPDATES: 15 APR 2008 HIGHEST RN 1015083-77-8

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=>

Uploading C:\Program Files\Stnexp\Queries\10561212-1.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam
SAMPLE SEARCH INITIATED 14:40:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
PROJECTED ITERATIONS: 3 TO 163
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Butanoic acid, (5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)methyl ester

MF C17 H18 N4 O6

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)propyl 1-ethylpropyl ester

MF C21 H26 N4 O7

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus COST IN U.S. DOLLARS

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
4.14
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FILE 'CAPLUS' ENTERED AT 14:41:24 ON 16 APR 2008
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FILE COVERS 1907 - 16 Apr 2008 VOL 148 ISS 16 FILE LAST UPDATED: 15 Apr 2008 (20080415/ED)

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L3 1 L2 AND ALLER?

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L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1999:233920 CAPLUS

DN 130:282073

TI Preparation of tricyclic triazolobenzazepine derivatives as prodrugs for antiallergic agents

IN Ohtsuka, Yasuo; Nishizuka, Toshio; Shiokawa, Sohjiro; Tsutsumi, Seiji; Kawaguchi, Mami; Kitagawa, Hideo; Takata, Hiromi; Shishikura, Takashi; Ishikura, Toyoaki; Fushihara, Kenichi; Okada, Yumiko; Miyamoto, Sachiko; Shiobara, Maki

PA Meiji Seika Kaisha, Ltd., Japan

SO PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 9916770	A1	19990408	WO 1998-JP4363	19980929

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Tricyclic triazolobenzazepine derivs. represented by general formula [I; AΒ R1 represents hydrogen, OH, alkyl, or phenylalkyl; R2, R3, R4, and R5 each represents hydrogen, halogeno, optionally protected hydroxyl, formyl, optionally substituted alkyl, alkenyl, alkoxy, etc.; Q represents a group selected among groups of OCO2R33, O2CR34, O2CNR35R36, OP(:O)(OR37)OR38, halogeno, or alkoxy; R33 and R34 each represent (un) substituted alkyl, Ph, or (un)saturated 5- to 7-membered ring heterocyclyl, etc.; and R35 and R36 each represent hydrogen or (un) substituted alkyl or NR35R36 forms a (un)saturated 5- to 7-membered ring heterocyclyl] in the form of a prodrug. and pharmacol. acceptable salts and solvates thereof are prepared These compds. have excellent bioavailability. Thus, 1.07 g Et 5-(4,5-dimethoxy-2-nitrobenzoyl)-1H-1,2,3-triazole-4-carboxylate (preparation given) and 53~mg p-MeC6H4SO3H.H2O were suspended in CH2Cl2 and stirred with 330 mg isobutyraldehyde at room temperature for 25 min, followed by adding 744 mg 1,1'-carbonyldiimidazole in 5.0 mL CH2Cl2, and the resulting mixture was stirred at room temperature for 3 h and then refluxed with 920 mg iso-Pr alc. to give 34% Et 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-5-(4,5dimethoxy-2-nitrobenzoyl)-1H-1,2,3-triazole-4-carboxylate. The latter compound was hydrogenated over Pd(OH)2 in EtOAc at room temperature for 15 h to give 99% Et 5-(2-amino-4,5-dimethoxybenzoyl)-2-(1-isopropoxycarbonyloxy-2methylpropyl)-1H-1,2,3-triazole-4-carboxylate which was heated in AcOH at 100° for 2 h with stirring to give the title compound (II) in 62% yield. When II in 0.5% aqueous methylcellulose was administered p.o. to dogs or rats, the area under the concentration time curve (AUC) value was 1.2 ± 0.3 $\mu\text{mol.}$ h/L for dogs and 1.4±0.1 $\mu\text{mol.}$ h/L for rats, which was 4-times higher in dog and 7-times higher in rats compared to that of its active form. A tablet and a fine powder formulation containing II were described.

ΙT 222633-21-8P 222633-48-9P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic triazolobenzazepine derivs. as prodrugs for antiallergic agents)

RN 222633-21-8 CAPLUS

Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-CN c][1]benzazepin-2(4H)-yl)propyl 1-ethylpropyl ester (CA INDEX NAME)

222633-48-9 CAPLUS

RNButanoic acid, (5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5c][1]benzazepin-2(4H)-yl)methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} H & O & O \\ \hline MeO & N & CH_2-O-C-Pr-n \\ \hline MeO & N & N \\ \hline \\ MeO & N \\ \end{array}$$

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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366809 CELLULOSE

4481 CELLULOSES

367324 CELLULOSE

(CELLULOSE OR CELLULOSES)

L4 0 L2 AND CELLULOSE

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(FILE 'HOME' ENTERED AT 14:35:15 ON 16 APR 2008)

FILE 'REGISTRY' ENTERED AT 14:35:57 ON 16 APR 2008

STRUCTURE UPLOADED

L2 2 S L1 SSS SAM

FILE 'CAPLUS' ENTERED AT 14:41:24 ON 16 APR 2008

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